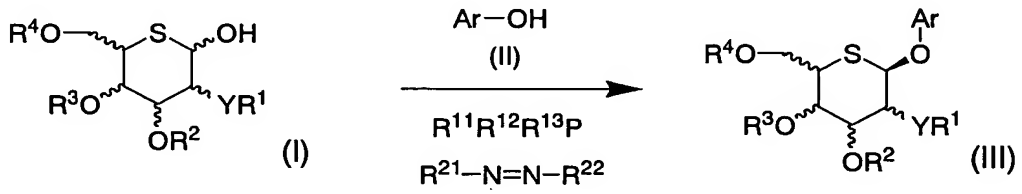
CLAIMS

1. A method for preparing an aryl 5-thio-β-D-aldohexopyranoside derivative of Formula (III), which comprises reacting a 5-thio-D-aldohexopyranose derivative of Formula (I) with Ar-OH of Formula (II) in the presence of a phosphine represented by $PR^{11}R^{12}R^{13}$ and an azo reagent represented by R^{21}-N=N-R^{22} in accordance with the following scheme:



wherein

in the above Formulae (I) and (III),

the wavy lines mean containing any stereoisomer selected from D-form, L-form and a mixture thereof,

Y represents -O- or -NH-, and

R^1, R^2, R^3 and R^4, which may be the same or different, each represent a hydrogen atom, a C_{2-10} acyl group, a C_{1-6} alkyl group, a C_{7-10} aralkyl group, a C_{1-6} alkoxy-C_{7-10} aralkyl group, an allyl group, a tri(C_{1-6} alkyl)silyl group, a C_{1-6} alkoxy-C_{1-6} alkyl group or a C_{2-6} alkoxycarbonyl group, or

when Y represents -O-, R^1 and R^2, R^2 and R^3, or R^3 and R^4 may together form -C(R^A)(R^B)- wherein R^A and R^B, which may be the same or different, each represent a hydrogen

atom, a C_{1-6} alkyl group or a phenyl group,

in the above Formula (II),

Ar represents an aryl group which may be substituted with any substituent,

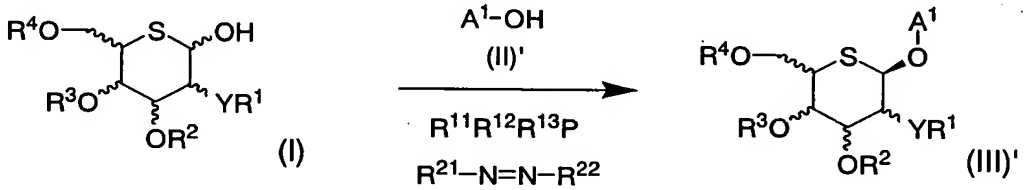
in $PR^{11}R^{12}R^{13}$,

R^{11} to R^{13}, which may be the same or different, each represent a phenyl group which may be substituted with a C_{1-6} alkyl group, a pyridyl group or a C_{1-6} alkyl group, and

in R^{21}-N=N-R^{22},

R^{21} and R^{22}, which may be the same or different, each represent a C_{2-5} alkoxycarbonyl group, an N,N-di-C_{1-4} alkylaminocarbonyl group or a piperidinocarbonyl group.

2. The method according to claim 1, wherein



Formula (II) is represented by the above Formula (II)' and Formula (III) is represented by the above Formula (III)' wherein Y, R^1, R^2, R^3 and R^4 are as defined in claim 1, wherein in the above Formulae (II)' and (III)',

A^1 represents an aryl group which may be substituted with the same or different 1 to 4 substituents selected from the group consisting of:

a halogen atom;

a hydroxyl group;

$-^+NH_3$;

$-^{+}N(CH_3)_3$;

a C_{1-6} alkyl group which may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom and a hydroxyl group;

a group represented by the formula:

$$-(CH_2)m-Q$$

wherein m represents an integer of 0 to 4, and Q represents a formyl group, an amino group, a nitro group, a cyano group, a carboxyl group, a sulfonic acid group, a C_{1-6} alkoxy group which may be substituted with 1 to 4 halogen atoms, a C_{1-6} alkoxy-C_{1-6} alkoxy group, a C_{2-10} acyloxy group, a C_{2-10} acyl group, a C_{2-6} alkoxycarbonyl group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, -NHC(=O)H, a C_{2-10} acylamino group, a C_{1-6} alkylsulfonylamino group, a C_{1-6} alkylamino group, an N,N-di(C_{1-6} alkyl)amino group, a carbamoyl group, an N-(C_{1-6} alkyl)aminocarbonyl group, or an N,N-di(C_{1-6} alkyl)aminocarbonyl group;

a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkyloxy group, an aryl group, a C_{7-10} aralkyl group, an aryloxy group, a C_{7-10} aralkyloxy group, a C_{7-10} aralkylamino group, a heteroaryl group, or a 4- to 6-membered heterocycloalkyl group, provided that each of these groups may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom, a hydroxyl group, a C_{1-6} alkyl group and a C_{1-6} alkoxy group; and

a group represented by the formula:

$$-X-A^2$$

wherein X represents $-(CH_2)n-$, $-CO(CH_2)n-$, $-CH(OH)(CH_2)n-$, $-O-(CH_2)n-$, $-CONH(CH_2)n-$, $-NHCO(CH_2)n-$ wherein n represents an integer of 0 to 3, -COCH=CH-, -S- or -NH-, and A^2 represents an aryl group, a heteroaryl group or a 4- to 6-membered heterocycloalkyl group, each of which may be substituted with the same or different 1 to 4 substituents selected from:

a halogen atom;

a hydroxyl group;

a C_{1-6} alkyl group which may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom and a hydroxyl group;

a group represented by the formula:

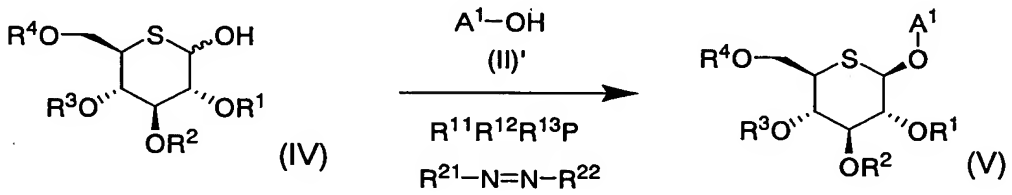
$$-(CH_2)m'-Q'$$

wherein m' represents an integer of 0 to 4, and Q' represents a formyl group, an amino group, a nitro group, a cyano group, a carboxyl group, a sulfonic acid group, a C_{1-6} alkoxy group which may be substituted with 1 to 4 halogen atoms, a C_{1-6} alkoxy-C_{1-6} alkoxy group, a C_{2-10} acyloxy group, a C_{2-10} acyl group, a C_{2-6} alkoxycarbonyl group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, -NHC(=O)H, a C_{2-10} acylamino group, a C_{1-6} alkylsulfonylamino group, a C_{1-6} alkylamino group, an N,N-di(C_{1-6} alkyl)amino group, a carbamoyl group, an N-(C_{1-6} alkyl)aminocarbonyl group, or an N,N-di(C_{1-6} alkyl)aminocarbonyl group; and

a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkyloxy group, an aryl group, a C_{7-10} aralkyl group, an aryloxy group, a

C_{7-10} aralkyloxy group, a C_{7-10} aralkylamino group, a heteroaryl group, or a 4- to 6-membered heterocycloalkyl group, provided that each of these groups may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom, a hydroxyl group, a C_{1-6} alkyl group and a C_{1-6} alkoxy group.

3. The method according to claim 2, wherein



Formula (I) is represented by the above Formula (IV) wherein R^1, R^2, R^3 and R^4 are as defined in claim 1 and Formula (III)' is represented by the above Formula (V) wherein R^1, R^2, R^3 and R^4 are as defined in claim 1, and A^1 is as defined in claim 2.

4. The method according to claim 3, wherein A^1 represents a phenyl group substituted with $-X-A^2$ wherein X and A^2 are as defined in claim 2, in which the phenyl group may be further substituted with the same or different 1 to 3 substituents selected from:

a halogen atom;

a hydroxyl group;

a C_{1-6} alkyl group which may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom and a hydroxyl group;

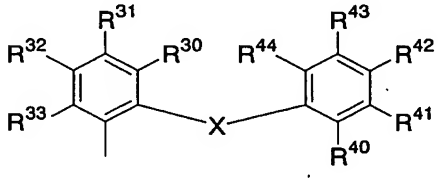
a group represented by the formula:

$-(CH_2)m-Q$

wherein m and Q are as defined in claim 2; and

a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkyloxy group, an aryl group, a C_{7-10} aralkyl group, an aryloxy group, a C_{7-10} aralkyloxy group, a heteroaryl group, or a 4- to 6-membered heterocycloalkyl group, provided that each of these groups may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom, a hydroxyl group, a C_{1-6} alkyl group and a C_{1-6} alkoxy group.

5. The method according to claim 3, wherein A^1 is represented by the following formula:



(VI)

wherein

X represents $-(CH_2)n-$, $-CO(CH_2)n-$, $-CH(OH)(CH_2)n-$, $-O-(CH_2)n-$, $-CONH(CH_2)n-$, $-NHCO(CH_2)n-$ wherein n represents an integer of 0 to 3, -COCH=CH-, -S- or -NH-,

R^{30}, R^{31}, R^{32} and R^{33}, which may be the same or different, each represent:

a hydrogen atom;

a halogen atom;

a hydroxyl group;

$-^{+}NH_3$;

$-^{+}N(CH_3)_3$;

a C_{1-6} alkyl group which may be substituted with 1 to

4 substituents selected from the group consisting of a halogen atom and a hydroxyl group;

a group represented by the formula:

$$-(CH_2)m-Q$$

wherein m represents an integer of 0 to 4, and Q represents a formyl group, an amino group, a nitro group, a cyano group, a carboxyl group, a sulfonic acid group, a C_{1-6} alkoxy group which may be substituted with 1 to 4 halogen atoms, a C_{1-6} alkoxy-C_{1-6} alkoxy group, a C_{2-10} acyloxy group, a C_{2-10} acyl group, a C_{2-6} alkoxycarbonyl group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, -NHC(=O)H, a C_{2-10} acylamino group, a C_{1-6} alkylsulfonylamino group, a C_{1-6} alkylamino group, an N,N-di(C_{1-6} alkyl)amino group, a carbamoyl group, an N-(C_{1-6} alkyl)aminocarbonyl group, or an N,N-di(C_{1-6} alkyl)aminocarbonyl group; or

a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkyloxy group, an aryl group, a C_{7-10} aralkyl group, an aryloxy group, a C_{7-10} aralkyloxy group, a C_{7-10} aralkylamino group, a heteroaryl group, or a 4- to 6-membered heterocycloalkyl group, provided that each of these groups may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom, a hydroxyl group, a C_{1-6} alkyl group and a C_{1-6} alkoxy group, and

R^{40}, R^{41}, R^{42}, R^{43} and R^{44}, which may be the same or different, each represent:

a hydrogen atom;

a halogen atom;

a hydroxyl group;

a C_{1-6} alkyl group which may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom and a hydroxyl group;

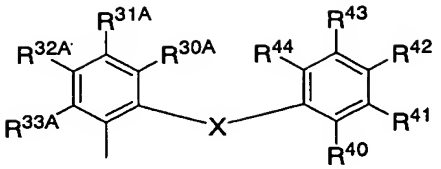
a group represented by the formula:

$$-(CH_2)m'-Q'$$

wherein m' represents an integer of 0 to 4, and Q' represents a formyl group, an amino group, a nitro group, a cyano group, a carboxyl group, a sulfonic acid group, a C_{1-6} alkoxy group which may be substituted with 1 to 4 halogen atoms, a C_{1-6} alkoxy-C_{1-6} alkoxy group, a C_{2-10} acyloxy group, a C_{2-10} acyl group, a C_{2-6} alkoxycarbonyl group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, -NHC(=O)H, a C_{2-10} acylamino group, a C_{1-6} alkylsulfonylamino group, a C_{1-6} alkylamino group, an N,N-di(C_{1-6} alkyl)amino group, a carbamoyl group, an N-(C_{1-6} alkyl)aminocarbonyl group, or an N,N-di(C_{1-6} alkyl)aminocarbonyl group; or

a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkyloxy group, an aryl group, a C_{7-10} aralkyl group, an aryloxy group, a C_{7-10} aralkyloxy group, a C_{7-10} aralkylamino group, a heteroaryl group, or a 4- to 6-membered heterocycloalkyl group, provided that each of these groups may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom, a hydroxyl group, a C_{1-6} alkyl group and a C_{1-6} alkoxy group.

6. The method according to claim 5, wherein A^1 is represented by the following formula:



(VI)

wherein

X is as defined in claim 5,

R^{30A}, R^{31A}, R^{32A} and R^{33A}, which may be the same or different, each represent:

a hydrogen atom;

a halogen atom;

a hydroxyl group;

a C_{1-6} alkyl group which may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom and a hydroxyl group;

a group represented by the formula:

$$-(CH_2)m^A-Q^A$$

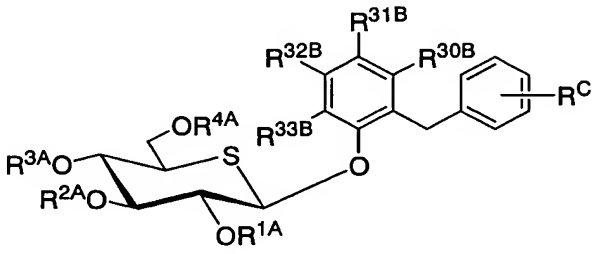
wherein m^A represents an integer of 0 to 4, and Q^A represents a formyl group, a carboxyl group, a C_{1-6} alkoxy group which may be substituted with 1 to 4 halogen atoms, a C_{1-6} alkoxy-C_{1-6} alkoxy group, a C_{2-10} acyloxy group, a C_{2-10} acyl group, a C_{2-6} alkoxycarbonyl group, a C_{1-6} alkylsulfonyl group, or a C_{2-10} acylamino group; or

a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkyloxy group, an aryl group, a C_{7-10} aralkyl group, an aryloxy group, a C_{7-10} aralkyloxy group, or a C_{7-10} aralkylamino group, provided that each of these groups may be substituted with 1 to 4 substituents selected from the group consisting of a halogen atom, a hydroxyl group, a C_{1-6} alkyl group and a

C_{1-6} alkoxy group, and

R^{40}, R^{41}, R^{42}, R^{43} and R^{44} are as defined in claim 5.

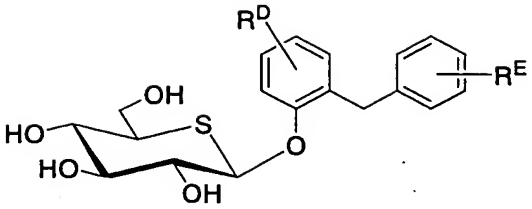
7. The method according to claim 3, wherein the compound of Formula (V) is a compound represented by the following formula:



(VII)

wherein R^{30B}, R^{31B}, R^{32B} and R^{33B}, which may be the same or different, each represent a hydrogen atom, a halogen atom, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkoxy-C_{1-6} alkoxy group, a carboxyl group, a C_{2-6} alkoxycarbonyl group, a hydroxyl group or a hydroxy-C_{1-4} alkyl group, R^{C} represents a hydrogen atom, a halogen atom, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a hydroxy-C_{1-4} alkyl group, a halogen-substituted C_{1-6} alkyl group or a C_{1-6} alkylthio group, R^{4A} represents a hydrogen atom, a C_{2-6} alkoxycarbonyl group or a C_{2-6} alkanoyl group, and R^{1A} to R^{3A}, which may be the same or different, each represent a hydrogen atom, a C_{2-8} alkanoyl group or a benzoyl group.

8. The method according to claim 3, wherein the compound of Formula (V) is a compound represented by the following formula:



(VIII)

wherein R^D represents a hydrogen atom, a halogen atom, a C_{1-6} alkyl group or a hydroxy-C_{1-4} alkyl group, and R^E represents a hydrogen atom, a halogen atom, a C_{1-6} alkyl group, a C_{1-6} alkoxy group or a hydroxy-C_{1-4} alkyl group.

9. The method according to claim 1, wherein Ar is an aryl group substituted with 1 to 4 electron-withdrawing groups.

10. The method according to any one of claims 2 to 4, wherein A^1 is an aryl group substituted with 1 to 4 electron-withdrawing groups.

11. The method according to claim 5, wherein at least one of R^{30}, R^{31}, R^{32} and R^{33} is an electron-withdrawing group.

12. The method according to claim 6, wherein at least one of R^{30A}, R^{31A}, R^{32A} and R^{33A} is an electron-withdrawing group.

13. The method according to claim 7, wherein at least one of R^{30B}, R^{31B}, R^{32B} and R^{33B} is an electron-withdrawing group.

14. The method according to any one of claims 9 to 13, wherein the electron-withdrawing group is selected from a formyl group, a nitro group, a cyano group, a carboxyl group, a sulfonic acid group, $-^{+}NH_3$, $-^{+}N(CH_3)_3$, $-CF_3$, $-CCl_3$, $-COCH_3$, $-CO_2CH_3$, $-CO_2C_2H_5$, -COPh, $-SO_2CH_3$ and a halogen atom.